

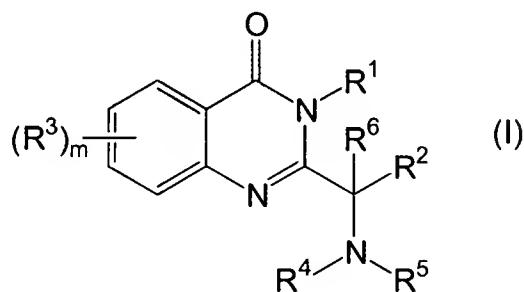
Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

1. (Original) A compound of formula (I):



wherein:

m is an integer from 0 to 4;

R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>7</sup> or -N(R<sup>8</sup>)R<sup>9</sup>, with the proviso that R<sup>1</sup> is not 3- or 4-(1,1,1,3,3-hexafluoro-2-hydroxy-2-propyl)phenyl;

R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are selected from (a) and (b) as follows:

(a) R<sup>2</sup> and R<sup>6</sup> are selected from (i) and (ii) as follows: (i) R<sup>2</sup> and R<sup>6</sup>

are each independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

heteroaralkyl, optionally substituted cycloalkylalkyl, or optionally substituted heterocyclalkyl; or (ii) R<sup>2</sup> and R<sup>6</sup> together form optionally substituted alkylene or optionally substituted alkenylene; and

R<sup>4</sup> and R<sup>5</sup> are selected from (i) and (ii) as follows: (i) R<sup>4</sup> and R<sup>5</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -N(R<sup>8</sup>)R<sup>9</sup>), -OR<sup>7</sup>, -S(O)<sub>j</sub>R<sup>11</sup> where j is 1 or 2, -B(R<sup>22</sup>)<sub>2</sub>, -P(R<sup>22</sup>)<sub>2</sub>, -P(O)(R<sup>22</sup>)<sub>2</sub> and -C(E)R<sup>23</sup>, where E is selected from O, S and NR<sup>7</sup>; or (ii) R<sup>4</sup> and R<sup>5</sup> together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene; or

(b) R<sup>2</sup> and R<sup>5</sup>, or R<sup>2</sup> and R<sup>4</sup>, or R<sup>6</sup> and R<sup>5</sup>, or R<sup>6</sup> and R<sup>4</sup>, together form a 4, 5, 6 or 7 membered optionally substituted heterocyclyl group, or a 5 or 6 membered optionally substituted heteroaryl group; and the remainder of R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each independently selected as in (i) above;

each R<sup>3</sup> is independently selected from the group consisting of halo, pseudohalo, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -N(R<sup>12</sup>)R<sup>13</sup>, -OR<sup>14</sup>, -C(E)R<sup>15</sup> where E is O, S or NR<sup>7</sup>, and -S(O)<sub>y</sub>R<sup>16</sup> where y is 0, 1 or 2;

or any two R<sup>3</sup> groups, which substitute adjacent carbons on the ring, together form optionally substituted alkylene, optionally substituted alkenylene,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

optionally substituted alkylenedioxy, optionally substituted thioalkylenoxy, or optionally substituted alkylenedithioxy;

each R<sup>7</sup> is independently selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -S(O)<sub>j</sub>R<sup>10</sup> where j is 1 or 2, and -C(M)R<sup>11</sup>, where M is selected from O and S;

or R<sup>8</sup> and R<sup>9</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

each R<sup>10</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

each R<sup>11</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -OR<sup>10</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>12</sup> and R<sup>13</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -C(M)R<sup>17</sup> where M is O or S, and -S(O)<sub>j</sub>R<sup>18</sup> where j is 1 or 2;

or R<sup>12</sup> and R<sup>13</sup> together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene;

R<sup>14</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl or -C(M)R<sup>17</sup> where M is O or S;

R<sup>15</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -OH, -OR<sup>14</sup> or -N(R<sup>12</sup>)R<sup>13</sup>;

R<sup>16</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -OH, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

$R^{17}$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

$R^{18}$  is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

$R^{19}$  is alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

$R^{20}$  and  $R^{21}$  are each independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl and heteroaralkyl,

or  $R^{20}$  and  $R^{21}$  together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

each  $R^{22}$  is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>7</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

$R^{23}$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

cycloalkylalkyl, optionally substituted heterocyclalkyl, -OR<sup>10</sup>, -N(R<sup>7</sup>)<sub>2</sub>, or -N(R<sup>7</sup>)N(R<sup>7</sup>)<sub>2</sub>;

wherein each of the above R<sup>1</sup>-R<sup>23</sup> groups, when substituted, are substituted with one or more substituents each independently selected from Q<sup>1</sup>, where Q<sup>1</sup> is halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocycl, heterocyclalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, cycloalkylcarbonyl, heterocyclcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocycloxy, heterocyclalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyloxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxy carbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylaminocarbonyloxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino, alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl, arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diarylminoalkyl, alkylarylminoalkyl, alkylamino, dialkylamino, haloalkylamino, arylamino, diarylamino, alkylaryl amino, alkylcarbonylamino, alkoxy carbonylamino, aralkoxycarbonylamino, arylcarbonylamino, arylcarbonyl aminoalkyl, aryloxycarbonyl aminoalkyl, aryloxarylcarbonylamino, aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, heterocyclsulfonylamino, heteroarylthio, azido, -N<sup>+</sup>(R<sup>24</sup>)<sub>3</sub>, -P(R<sup>25</sup>)<sub>2</sub>, -P(O)(R<sup>25</sup>)<sub>2</sub>,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

-OP(O)(R<sup>25</sup>)<sub>2</sub>, -N(R<sup>24</sup>)C(O)R<sup>26</sup>, dialkylphosphonyl, alkylarylphtosphonyl, diarylphtosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio, carboxyalkylthio, thiocyno, isothiocyno, alkylsulfinyloxy, alkylsulfonyloxy, arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxyssulfonyloxy, aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy, arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylaminosulfonyloxy, alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxyssulfonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, diarylaminosulfonyl or alkylarylaminosulfonyl; or two Q<sup>1</sup> groups, which substitute atoms in a 1,2 or 1,3 arrangement, together form alkylenedioxy (*i.e.*, -O-(CH<sub>2</sub>)<sub>y</sub>-O-), thioalkyleneoxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-O-) or alkylenedithioxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-S-) where y is 1 or 2; or two Q<sup>1</sup> groups, which substitute the same atom, together form alkylene;

each R<sup>24</sup> is independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl and heterocyclylalkyl;

each R<sup>25</sup> is independently selected from the group consisting of hydroxy, alkoxy, aralkoxy, alkyl, heteroaryl, heterocyclyl, aryl and -N(R<sup>27</sup>)R<sup>28</sup>,

R<sup>26</sup> is alkoxy, aralkoxy, alkyl, heteroaryl, heterocyclyl, aryl or -N(R<sup>27</sup>)R<sup>28</sup>;

R<sup>27</sup> and R<sup>28</sup> are each independently hydrogen, alkyl, aralkyl, aryl, heteroaryl, heteroaralkyl or heterocyclyl,

or R<sup>27</sup> and R<sup>28</sup> together form alkylene, azaalkylene, oxaalkylene or thiaalkylene;

and each Q<sup>1</sup> is optionally substituted by one or more substituents selected from Q<sup>2</sup>; where each Q<sup>2</sup> is independently halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclcloxy, heterocyclalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyoxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylaminocarbonyloxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino, alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl, arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diarylamoalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino, haloalkylamino, arylamino, diarylmino, alkylarylamino, alkylcarbonylamino, alkoxycarbonylamino, aralkoxycarbonylamino, arylcarbonylamino, arylcarbonylaminolalkyl, aryloxycarbonylaminolalkyl, aryloxyarylcarbonylamino, aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylphosphonyl, diarylphosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio, carboxyalkylthio, thiocyanato, isothiocyanato, alkylsulfinyloxy, alkylsulfonyloxy, arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxsulfonyloxy, aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy, arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylaminosulfonyloxy, alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxsulfonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, diarylaminosulfonyl or alkylarylaminosulfonyl; or two Q<sup>2</sup> groups, which substitute atoms

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

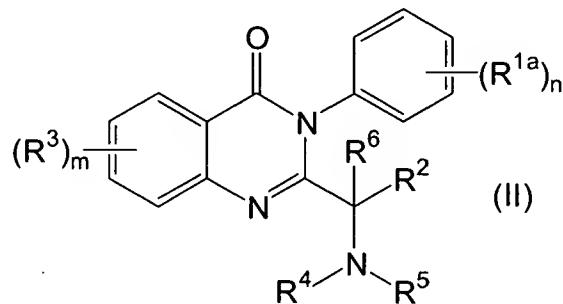
in a 1,2 or 1,3 arrangement, together form alkylenedioxy (*i.e.*, -O-(CH<sub>2</sub>)<sub>y</sub>-O-), thioalkylenoxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-O-) or alkylenedithioxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-S-) where y is 1 or 2; or two Q<sup>2</sup> groups, which substitute the same atom, together form alkylene,

where R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are as defined above;

as a stereoisomer, racemate or mixture thereof; or as a pharmaceutically acceptable derivative thereof;

with the proviso that the compound of formula (I) can not be *N*-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide.

2. (Original) The compound of claim 1 wherein the compound of formula (I) is a compound of formula (II):



wherein:

n is an integer from 0 to 5;

m is an integer from 0 to 4;

each R<sup>1a</sup> and R<sup>3</sup> are independently selected from the group consisting of halo, pseudohalo, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -N(R<sup>12</sup>)R<sup>13</sup>, -OR<sup>14</sup>, -C(E)R<sup>15</sup>

Express Mail No.: EV449564167US

International Application No.: PCT/US03/06793

International Filing Date: March 4, 2003

Preliminary Amendment

where E is O, S or NR<sup>7</sup>, and -S(O)<sub>y</sub>R<sup>16</sup> where y is 0, 1 or 2, with the proviso that R<sup>1a</sup> is not 3- or 4-C(OH)(CF<sub>3</sub>)<sub>2</sub>;

or any two R<sup>1a</sup> groups or R<sup>3</sup> groups, which substitute adjacent carbons on the ring, together with atoms to which they are attached, form optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted heteroaryl, or optionally substituted aryl;

R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are selected from (a) and (b) as follows:

(a) R<sup>2</sup> and R<sup>6</sup> are selected from (i) and (ii) as follows: (i) R<sup>2</sup> and R<sup>6</sup> are each independently hydrogen or optionally substituted alkyl; or (ii) R<sup>2</sup> and R<sup>6</sup> together form alkylene or alkenylene;

R<sup>4</sup> and R<sup>5</sup> are selected from (i) and (ii) as follows: (i) R<sup>4</sup> and R<sup>5</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -N(R<sup>8</sup>)R<sup>9</sup>, -OR<sup>7</sup>, S(O)<sub>j</sub>R<sup>11</sup> where j is 1 or 2, -B(R<sup>22</sup>)<sub>2</sub>, -P(R<sup>22</sup>)<sub>2</sub>, -P(O)(R<sup>22</sup>)<sub>2</sub>, and -C(E)R<sup>23</sup> where E is selected from O, S and NR<sup>7</sup>; or (ii) R<sup>4</sup> and R<sup>5</sup> together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene;

(b) R<sup>2</sup> and R<sup>5</sup>, or R<sup>2</sup> and R<sup>4</sup>, or R<sup>6</sup> and R<sup>5</sup>, or R<sup>6</sup> and R<sup>4</sup>, together form a 5, 6 or 7 membered optionally substituted heterocyclyl group, or a 5 or 6 membered optionally substituted heteroaryl group; and the remainder of R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each independently selected as in (i) above;

each R<sup>7</sup> is independently selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

$R^8$  and  $R^9$  are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, - $S(O)_jR^{10}$  where  $j$  is 1 or 2, and  $-C(M)R^{11}$ , where M is selected from O and S;

or  $R^8$  and  $R^9$  together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

each  $R^{10}$  is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

each  $R^{11}$  is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, - $OR^{10}$  and  $-N(R^7)_2$ ;

$R^{12}$  and  $R^{13}$  are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -C(M)R<sup>17</sup> where M is O or S, and -S(O)<sub>j</sub>R<sup>14</sup> where j is 1 or 2; or R<sup>12</sup> and R<sup>13</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

R<sup>14</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl or -C(M)R<sup>17</sup> where m is O or S;

R<sup>15</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OH, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>16</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OH, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>17</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

$R^{18}$  is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

$R^{19}$  is alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

$R^{20}$  and  $R^{21}$  are each independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl and heteroaralkyl,

or  $R^{20}$  and  $R^{21}$  together form alkylene, alkenylene or alkyleneoxyalkylene; each  $R^{22}$  is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>7</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

$R^{23}$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>10</sup>, -N(R<sup>7</sup>)<sub>2</sub>, -N(R<sup>7</sup>)N(R<sup>7</sup>)<sub>2</sub>;

wherein each of the above  $R^1$ - $R^{23}$  groups, when substituted, are substituted with one or more substituents each independently selected from Q<sup>1</sup>, where Q<sup>1</sup> is halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxy carbonyl, alkoxy carbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylamino carbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclloxy, heterocyclalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyloxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxy carbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylamino carbonyloxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino, alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl, arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diaryl aminoalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino, haloalkylamino, arylamino, diaryl amino, alkylarylamino, alkylcarbonylamino, alkoxy carbonylamino, aralkoxycarbonylamino, arylcarbonylamino, arylcarbonyl aminoalkyl, aryloxycarbonyl aminoalkyl, aryloxyarylcarbonylamino, aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroaryl sulfonylamino, heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylpophosphonyl, diarylphosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio, carboxyalkylthio, thiocyanato, isothiocyanato, alkylsulfinyloxy, alkylsulfonyloxy, arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxy sulfonyloxy, aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy, arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylamino sulfonyloxy, alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxy sulfonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

diarylaminosulfonyl or alkylarylaminosulfonyl; or two Q<sup>1</sup> groups, which substitute atoms in a 1,2 or 1,3 arrangement, together form alkyleneedioxy (*i.e.*, -O-(CH<sub>2</sub>)<sub>y</sub>-O-), thioalkylenoxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-O-) or alkyleneedithioxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-S-) where y is 1 or 2; or two Q<sup>1</sup> groups, which substitute the same atom, together form alkylene;

each R<sup>24</sup> is independently selected from the group consisting of hydrogen, alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl and heterocyclylalkyl;

each R<sup>25</sup> is independently selected from the group consisting of hydroxy, alkoxy, aralkoxy, alkyl, heteroaryl, heterocyclyl, aryl and -N(R<sup>27</sup>)R<sup>28</sup>,

R<sup>26</sup> is alkoxy, aralkoxy, alkyl, heteroaryl, heterocyclyl, aryl or -N(R<sup>27</sup>)R<sup>28</sup>;

R<sup>27</sup> and R<sup>28</sup> are each independently hydrogen, alkyl, aralkyl, aryl, heteroaryl, heteroaralkyl or heterocyclyl,

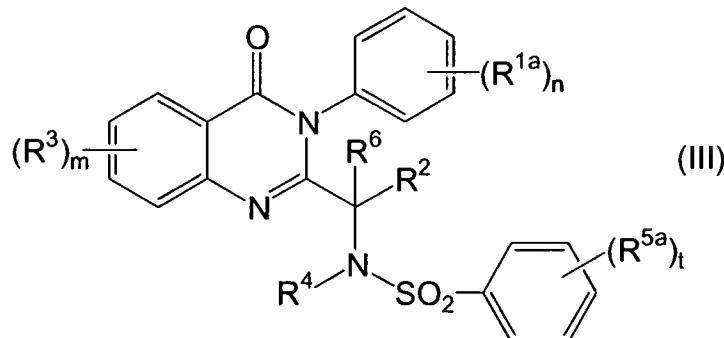
or R<sup>27</sup> and R<sup>28</sup> together form alkylene, azaalkylene, oxaalkylene or thiaalkylene;

and each Q<sup>1</sup> is optionally substituted by one or more substituents selected from Q<sup>2</sup>; where each Q<sup>2</sup> is independently halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyl diarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxy carbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyoxy, heterocyclylalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyoxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxy carbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy,  
alkylarylamino carbonyloxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino,  
alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl,  
arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl,  
arylaminoalkyl, diarylaminoalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino,  
haloalkylamino, arylamino, diaryl amino, alkylarylamino, alkylcarbonylamino,  
alkoxycarbonylamino, aralkoxycarbonylamino, arylcarbonylamino,  
arylcarbonylaminoalkyl, aryloxycarbonylaminoalkyl, aryloxyarylcarbonylamino,  
aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino,  
heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  
 $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylphosphonyl,  
diarylphosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio,  
carboxyalkylthio, thiocyano, isothiocyanato, alkylsulfinyloxy, alkylsulfonyloxy,  
arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxy sulfonyloxy,  
aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy,  
arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylamino sulfonyloxy,  
alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxy sulfonyl,  
aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl,  
diarylaminosulfonyl or alkylarylamino sulfonyl; or two Q<sup>2</sup> groups, which substitute atoms  
in a 1,2 or 1,3 arrangement, together form alkylene dioxy (*i.e.*,  $-O-(CH_2)_y-O-$ ),  
thioalkyleneoxy (*i.e.*,  $-S-(CH_2)_y-O-$ ) or alkylene dithioxy (*i.e.*,  $-S-(CH_2)_y-S-$ ) where y is 1  
or 2; or two Q<sup>2</sup> groups, which substitute the same atom, together form alkylene,  
where R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are as defined above;  
as a stereoisomer, racemate or mixture thereof; or as a pharmaceutically  
acceptable derivative thereof.

3. (Original) The compound of claim 2 wherein the compound of formula (II) is a compound of formula (III):



wherein:

n is an integer from 0 to 5;

m is an integer from 0 to 4;

t is an integer from 0 to 5;

each R<sup>1a</sup> is independently selected from the group consisting of alkyl, hydroxy, alkoxy, alkoxyalkoxy, aralkoxy, amino, alkylamino, dialkylamino, halo, haloalkyl, haloalkoxy, cyano, carboxy, alkoxycarbonyl, alkoxycarbonylalkoxy, heteroaryl, heterocyclyl, heterocyclylalkoxy, and aryl (optionally substituted by one or more substituents selected from the group consisting of alkyl, alkoxy, halo, cyano, carboxy, cyano, and alkoxycarbonyl);

R<sup>2</sup> is hydrogen or alkyl;

each R<sup>3</sup> is independently selected from the group consisting of alkyl, alkoxy, halo, hydroxy, aralkoxy, alkoxycarbonylalkoxy, aryl (optionally substituted by one or more substituents independently selected from the group consisting of alkyl, halo, alkoxy, carboxy, alkoxycarbonyl, cyano), heteroaryl and heterocyclyl;

R<sup>4</sup> is hydrogen or alkyl;

each R<sup>5a</sup> is independently selected from the group consisting of alkyl, alkoxy, halo, alkylcarbonyl, haloalkyl, haloalkoxy, aryl, cyano, carboxy, alkoxycarbonyl, nitro, and -N(R<sup>24</sup>)C(O)R<sup>26</sup>;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

or two adjacent R<sup>5a</sup> groups form an aryl, heterocycl or heteroaryl; and R<sup>6</sup> is hydrogen or alkyl.

4. (Currently amended) The compound of claim 3 wherein m is 0 or 1, n is 1 and each R<sup>1a</sup> is independently selected from alkoxy, halo, haloalkyl, haloalkoxy, cyano, optionally substituted aryl, heterocycl and heteroaryl.

5. (Currently amended) The compound of claim 4 selected from the group consisting of the following:

N-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzenesulfonamide;

N-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-methylbenzenesulfonamide;

4-*tert*-butyl-N-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzenesulfonamide;

4-methoxy-N-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzenesulfonamide;

4-chloro-N-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzenesulfonamide;

4-*tert*-butyl-N-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

N-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,N-dimethylbenzenesulfonamide;

4-({1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-methyl-sulfamoyl)-benzoic acid;

4-*tert*-butyl-N-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-isopropyl-*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;  
4-methoxy-*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-butyl-*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;  
2,4,6-trichloro-*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-isopropyl-*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;  
4-methoxy-*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-butyl-*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;

2,4,6-trichloro-*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-isopropyl-*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;

4-methoxy-*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-butyl-*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;

2,4,6-trichloro-*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-methoxy-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;

*N*-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-methoxy-*N*-methylbenzenesulfonamide;

*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;

*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;

2,4,6-trichloro-*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-isopropyl-*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

biphenyl-4-sulfonic acid {1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,N-dimethylbenzenesulfonamide;  
4-methoxy-*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*4-tert-butyl-N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
4-butyl-*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;  
2,4,6-trichloro-*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*4-tert-butyl-N*-{1-[8-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-isopropyl-*N*-{1-[8-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[8-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*4-tert-butyl-N*-{1-[5-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-isopropyl-*N*-{1-[5-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

biphenyl-4-sulfonic acid {1-[5-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[6-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-isopropyl-*N*-{1-[6-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[6-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[3-(3-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-isopropyl-*N*-{1-[3-(3-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[3-(3-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[3-(2-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-isopropyl-*N*-{1-[3-(2-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[3-(2-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[8-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[8-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[8-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*4-tert-butyl-N-{1-[3-(4-ethoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*4-tert-butyl-N-{1-[3-(4-isopropoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*4-tert-butyl-N-{1-[3-(4-isobutoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*4-tert-butyl-N-{1-[3-(4-n-butoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*4-methoxy-N-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*4-methoxy-N-{1-[3-(4-cyanophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide; and*

*4-tert-butyl-N-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*4-tert-butyl-N-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*N-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-methoxy-N-methylbenzenesulfonamide;*

*N-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-tert-butyl-N-methylbenzenesulfonamide;*

*4-tert-butyl-N-{1-[3-(4-cyanophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;*

*N-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-N-methylbenzenesulfonamide;*

*biphenyl-4-sulfonic acid {1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;*

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

N-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,N-dimethylbenzenesulfonamide;

N-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-chloro-N-methylbenzenesulfonamide;

N-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methyl-4-trifluoromethylbenzenesulfonamide;

4-tert-butyl-N-methyl-N-{1-[4-oxo-3-(4-trifluoromethoxyphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-benzenesulfonamide;

4-isopropyl-N-methyl-N-{1-[4-oxo-3-(4-trifluoromethoxyphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-benzenesulfonamide;

biphenyl-4-sulfonic acid methyl-{1-[4-oxo-3-(4-trifluoromethoxyphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-amide;

4-tert-butyl-N-{1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

N-{1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-N-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid {1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-tert-butyl-N-methyl-N-{1-[4-oxo-3-(4-trifluoromethylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-benzenesulfonamide;

4-isopropyl-N-methyl-N-{1-[4-oxo-3-(4-trifluoromethylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-benzenesulfonamide;

biphenyl-4-sulfonic acid methyl-{1-[4-oxo-3-(4-trifluoromethylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-amide;

N-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

N-[1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-4-methoxy-N-methylbenzenesulfonamide;

N-[1-(3-biphenyl-4-yl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]-4-tert-butyl-N-methylbenzenesulfonamide;

4-tert-butyl-N-[1-[3-(3'-methoxy-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4-tert-butyl-N-[1-[3-(3'-chloro-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4-tert-butyl-N-methyl-N-[1-[3-(4'-methyl-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-benzenesulfonamide;

4-tert-butyl-N-[1-[3-(2'-chloro-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4-tert-butyl-N-[1-[3-(4'-chloro-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4-tert-butyl-N-[1-[3-(2'-methoxy-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4-tert-butyl-N-[1-[3-(4'-methoxy-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4'-(2-[1-[(4-tert-butylbenzenesulfonyl)methylamino]ethyl]-4-oxo-4H-quinazolin-3-yl)-biphenyl-4-carboxylic acid;

4-tert-butyl-N-[1-[3-(4'-cyano-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-methylbenzenesulfonamide;

4-tert-butyl-N-methyl-N-[1-[4-oxo-3-(4-thiophen-3-ylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl]-benzenesulfonamide;

4'-(2-[1-[(4-tert-butylbenzenesulfonyl)methylamino]ethyl]-4-oxo-4H-quinazolin-3-yl)-biphenyl-3-carboxylic acid methyl ester;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4'-(2-{1-[*(4-tert-butylbenzenesulfonyl)methylamino]ethyl}-4-oxo-4*H*-quinazolin-3-yl)-biphenyl-4-carboxylic acid methyl ester;*

4'-(2-{1-[*(4-tert-butylbenzenesulfonyl)methylamino]ethyl}-4-oxo-4*H*-quinazolin-3-yl)-biphenyl-3-carboxylic acid;*

4-*tert*-butyl-N-methyl-N-{1-[4-oxo-3-(4-pyrrolidin-1-ylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}benzenesulfonamide;

4-*tert*-butyl-N-methyl-N-{1-[4-oxo-3-(4-piperidin-1-yl-phenyl)-3,4-dihydroquinazolin-2-yl]ethyl}benzenesulfonamide; and

4-*tert*-butyl-N-methyl-N-{1-[4-oxo-3-(4-thiophen-2-ylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}benzenesulfonamide.

6. (Original) The compound of claim 3 wherein m is 0 or 1, n is 1, 2 or 3 and each R<sup>1a</sup> is selected from alkyl.

7. (Original) The compound of claim 6 selected from the group consisting of the following:

quinoline-8-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

naphthalene-1-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

naphthalene-2-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

2-naphthalen-1-yl-ethanesulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

N-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,N-dimethylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-3,*N*-dimethylbenzenesulfonamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-C-phenyl-methanesulfonamide;

4-acetyl-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-3-trifluoromethylbenzenesulfonamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethoxy-benzenesulfonamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,5,*N*-trimethylbenzenesulfonamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-3,4-dimethoxy-*N*-methylbenzenesulfonamide;

*N*-[4-({1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-methyl-sulfamoyl)-phenyl]-acetamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,4,6,*N*-tetramethylbenzenesulfonamide;

2-phenyl-ethenesulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

2,2,5,6,8-pentamethyl-chroman-7-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-3,4-difluoro-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

3-chloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,*N*-dimethylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-5-fluoro-2,*N*-dimethylbenzenesulfonamide;  
3,5-dimethyl-isoxazole-4-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-3-fluoro-*N*-methylbenzenesulfonamide;  
2,4,6-trichloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
3-chloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-fluoro-*N*-methylbenzenesulfonamide;  
2-chloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
5-chloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2-methoxy-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,5-dimethoxy-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,3,4-trifluoro-*N*-methylbenzenesulfonamide;  
3-chloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-cyano-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-butyl-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-(1,1-dimethyl-propyl)-*N*-methylbenzenesulfonamide;  
4-butoxy-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-3-methoxy-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2-methoxy-4,*N*-dimethylbenzenesulfonamide;  
4-chloro-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2,5,*N*-trimethylbenzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-methanesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-methylbenzenesulfonamide;  
4-*tert*-butyl-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-methoxy-benzenesulfonamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-methoxy-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-methoxy-*N*-methylbenzenesulfonamide;

4-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;

octane-1-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

quinoline-8-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

naphthalene-1-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,*N*-dimethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-3,*N*-dimethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4,*N*-dimethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methyl-3-trifluoromethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methyl-4-trifluoromethoxy-benzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,5,*N*-trimethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-3,4-dimethoxy-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,4,6,*N*-tetramethylbenzenesulfonamide;

2,2,5,6,8-pentamethyl-chroman-7-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-3,4-difluoro-*N*-methylbenzenesulfonamide;

3-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,*N*-dimethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-5-fluoro-2,*N*-dimethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methyl-4-trifluoromethylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-3-fluoro-*N*-methylbenzenesulfonamide;

2,4,6-trichloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;

3-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-fluoro-*N*-methylbenzenesulfonamide;

2-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;

5-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2-methoxy-*N*-methylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,5-dimethoxy-*N*-methylbenzenesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,3,4-trifluoro-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

3-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;  
4-cyano-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;  
4-butyl-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-(1,1-dimethyl-propyl)-*N*-methylbenzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-4-isopropyl-*N*-methylbenzenesulfonamide;  
4-butoxy-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-3-methoxy-*N*-methylbenzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2-methoxy-4,*N*-dimethylbenzenesulfonamide;  
4-chloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-2,5,*N*-trimethylbenzenesulfonamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methyl-3,5-bis-trifluoromethylbenzenesulfonamide; and  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methyl-4-nitro-benzenesulfonamide;  
4-*tert*-butyl-*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4,*N*-dimethylbenzenesulfonamide;  
*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-methoxy-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
4-chloro-*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-4-trifluoromethylbenzenesulfonamide;  
4-*tert*-butyl-*N*-{1-[3-(4-*tert*-butyl-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(4-*tert*-butyl-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(4-*tert*-butyl-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
4-isopropyl-*N*-methyl-*N*-[1-(4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
biphenyl-4-sulfonic acid methyl-[1-(4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]amide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-[1-(6-methoxy-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-[1-(6-hydroxy-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-*N*-methylbenzenesulfonamide;

*N*-[1-(6-bromo-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-*tert*-butyl-*N*-methylbenzenesulfonamide;

biphenyl-4-sulfonic acid [1-(6-bromo-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]methylamide;

*N*-[1-(6-bromo-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-isopropyl-*N*-methylbenzenesulfonamide;

*N*-[1-(6-benzyloxy-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-*tert*-butyl-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-[1-(6-isobutoxy-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-*N*-methylbenzenesulfonamide;

*N*-[1-(6-butoxy-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-*tert*-butyl-*N*-methylbenzenesulfonamide;

(2-{1-[(4-*tert*-butylbenzenesulfonyl)methylamino]ethyl}-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-6-yloxy)acetic acid ethyl ester;

4-*tert*-butyl-*N*-[1-(6-ethoxy-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-6-thiophen-3-yl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-6-phenyl-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-6-thiophen-2-yl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-methyl-*N*-{1-[3-(2'-methyl-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-benzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-{1-[3-(3'-methyl-biphenyl-4-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-benzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-6-*o*-tolyl-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-3,6-di-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-(2-chlorophenyl)-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-(4-chlorophenyl)-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-(2-methoxyphenyl)-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-(3-methoxyphenyl)-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-(4-methoxyphenyl)-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

3-(2-{1-[(4-*tert*-butyl-benzenesulfonyl)methylamino]ethyl}-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-6-yl)benzoic acid methyl ester;

4-(2-{1-[(4-*tert*-butyl-benzenesulfonyl)methylamino]ethyl}-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-6-yl)-benzoic acid methyl ester;

3-(2-{1-[(4-*tert*-butylbenzenesulfonyl)methylamino]ethyl}-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-6-yl)benzoic acid;

4-*tert*-butyl-*N*-{1-[6-(4-cyanophenyl)-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-methyl-*N*-[1-(6-morpholin-4-yl-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide; and

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-6-*m*-tolyl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-6-pyrrolidin-1-yl-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-[2-{1-[(4-*tert*-butyl-benzenesulfonyl)methylamino]ethyl}-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-6-yl]benzoic acid;

4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-6-piperidin-1-yl-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide; and

4-*tert*-butyl-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide.

8. (Cancelled)

9. (Cancelled)

10. (Original) The compound of claim 3 wherein m is 0 or 1, n is 0 or 1 and each R<sup>1a</sup> is selected from carboxy, dialkylamino, hydroxy, alkoxyalkoxy, alkoxy carbonylalkoxy, aralkoxy, and heterocyclalkoxy.

11. (Original) The compound of claim 10 selected from the group consisting of the following:

4-(2-{1-[(4-*tert*-butyl-benzenesulfonyl)methylamino]ethyl}-4-oxo-4*H*-quinazolin-3-yl)-benzoic acid;

4-*tert*-butyl-*N*-{1-[3-(4-dimethylamino-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-{1-[3-(4-dimethylamino-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(4-dimethylamino-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*4-tert-butyl-N-methyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
*4-isopropyl-N-methyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
biphenyl-4-sulfonic acid methyl-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]amide;  
*N-methyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
*4-methoxy-N-methyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
*4,N-dimethyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
*4-chloro-N-methyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;  
*N-methyl-N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-trifluoromethylbenzenesulfonamide;  
*N*-{1-[3-(4-benzyloxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-*tert*-butyl-*N*-methylbenzenesulfonamide;  
*N*-{1-[3-(4-benzyloxy-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-*N*-methylbenzenesulfonamide;  
biphenyl-4-sulfonic acid {1-[3-(4-benzyloxy-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-{1-[3-(4-hydroxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[5-hydroxy-3-(4-hydroxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-(1-{4-oxo-3-[4-(2-piperidin-1-yl-ethoxy)phenyl]-3,4-dihydroquinazolin-2-yl}ethyl)benzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-(1-{3-[4-(2-morpholin-4-yl-ethoxy)phenyl]-4-oxo-3,4-dihydroquinazolin-2-yl}ethyl)benzenesulfonamide;

[4-(2-{1-[(4-*tert*-butylbenzenesulfonyl)methylamino]ethyl}-4-oxo-4*H*-quinazolin-3-yl)phenoxy]acetic acid ethyl ester; and

4-*tert*-butyl-*N*-(1-{3-[4-(2-methoxyethoxy)phenyl]-4-oxo-3,4-dihydroquinazolin-2-yl}ethyl)-*N*-methylbenzenesulfonamide.

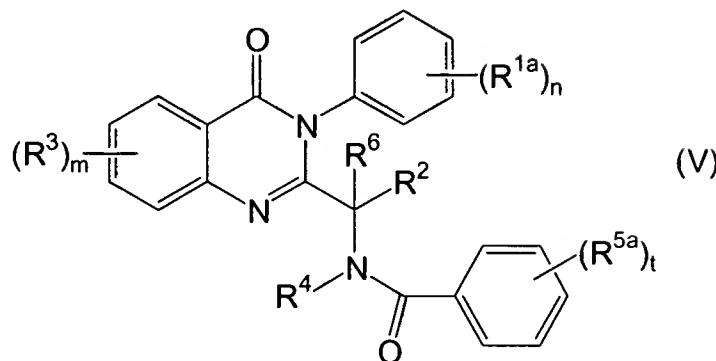
12. (Cancelled)

13. (Cancelled)

14. (Cancelled)

15. (Original) The compound of Claim 2 wherein the compound of formula (II) is a compound of formula (V):

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment



wherein:

m is an integer from 0 to 4;

n is an integer from 0 to 5;

t is an integer from 0 to 5;

each R<sup>1a</sup> is selected from the group consisting of alkyl, alkoxy, aralkoxy, halo, haloalkyl, haloalkoxy, amino, alkylamino, and dialkylamino;

R<sup>2</sup>, R<sup>4</sup> and R<sup>6</sup> are each independently hydrogen or alkyl;

each R<sup>3</sup> is independently selected from the group consisting of alkyl, alkoxy, and halo; and

each R<sup>5a</sup> is independently selected from the group consisting of alkyl, alkoxy, alcoxycarbonyl, halo, and aryl

or two adjacent R<sup>5a</sup> groups form an aryl, heterocyclyl or heteroaryl.

16. (Original) The compound of claim 15 wherein m is 0 or 1, n is 1 and each R<sup>1a</sup> is alkoxy.

17. (Original) The compound of claim 16 selected from the group consisting of the following:

4-chloro-N-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

3-methoxy-*N*-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;

4-methoxy-*N*-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;

4-*tert*-butyl-*N*-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;

*N*-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-terephthalamic acid methyl ester;

2,4-dichloro-*N*-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;

benzo[1,3]dioxole-5-carboxylic acid [3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]amide;

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-terephthalamic acid methyl ester;

2-methoxy-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

3-methoxy-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

4-methoxy-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-8-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-5-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[7-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-7-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[8-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

4-*tert*-butyl-*N*-{1-[8-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[5-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-{1-[5-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[6-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
4-*tert*-butyl-*N*-{1-[6-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
4-*tert*-butyl-*N*-{1-[3-(3-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(3-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
4-*tert*-butyl-*N*-{1-[3-(2-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(2-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[8-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
4-*tert*-butyl-*N*-{1-[8-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide; and  
4-*tert*-butyl-*N*-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide.

18. (Original) The compound of claim 15 wherein m is 0 or 1, n is 1, 2 or 3 and each R<sup>1a</sup> is selected from alkyl.

19. (Original) The compound of claim 18 selected from the group consisting of the following:

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;  
*4-tert-butyl-N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;  
benzo[1,3]dioxole-5-carboxylic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]amide;  
2,4-dichloro-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]benzamide;  
*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-terephthalamic acid methyl ester;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-terephthalamic acid methyl ester;  
benzo[1,3]dioxole-5-carboxylic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;  
*4-tert-butyl-N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylbenzamide;  
*4-tert-butyl-N*-{1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(3,5-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*4-tert-butyl-N*-{1-[3-(4-*tert*-butyl-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-*tert*-butyl-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-benzamide;  
benzo[1,3]dioxole-5-carboxylic acid methyl-[1-(4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]amide;  
benzo[1,3]dioxole-5-carboxylic acid [1-(6-bromo-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]methylamide; and  
*N*-[1-(6-bromo-4-oxo-3-*p*-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]-4-*tert*-butyl-*N*-methylbenzamide.

20. (Original) The compound of claim 15 wherein m is 0 or 1, n is 0 or 1 and each R<sup>1a</sup> is independently selected from dialkylamino, aralkoxy, halo, haloalkyl and haloalkoxy.

21. (Original) The compound of claim 20 selected from the group consisting of the following:

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-dimethylamino-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
4-*tert*-butyl-*N*-{1-[3-(4-dimethylamino-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;  
benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-benzyloxy-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;  
*N*-{1-[3-(4-benzyloxy-phenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-*tert*-butyl-*N*-methylbenzamide;  
*N*-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-2-methoxy-*N*-methylbenzamide;  
*N*-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-3-methoxy-*N*-methylbenzamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

*N*-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-methoxy-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-terephthalamic acid methyl ester;

4-*tert*-butyl-*N*-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

4-*tert*-butyl-*N*-methyl-*N*-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]benzamide;

benzo[1,3]dioxole-5-carboxylic acid methyl-[1-(4-oxo-3-phenyl-3,4-dihydroquinazolin-2-yl)ethyl]amide;

benzo[1,3]dioxole-5-carboxylic acid {1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*N*-{1-[3-(4-bromophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-*tert*-butyl-*N*-methylbenzamide;

4-*tert*-butyl-*N*-methyl-*N*-{1-[4-oxo-3-(4-trifluoromethoxyphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}benzamide; and

benzo[1,3]dioxole-5-carboxylic acid methyl-{1-[4-oxo-3-(4-trifluoromethoxyphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-amide;

4-*tert*-butyl-*N*-{1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzamide;

benzo[1,3]dioxole-5-carboxylic acid methyl-{1-[4-oxo-3-(4-trifluoromethylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-amide; and

4-*tert*-butyl-*N*-methyl-*N*-{1-[4-oxo-3-(4-trifluoromethylphenyl)-3,4-dihydroquinazolin-2-yl]ethyl}-benzamide.

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

22. (Original) The compound of claim 1 wherein R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted aryl, or optionally substituted aralkyl.

23. (Cancelled)

24. (Cancelled)

25. (Currently amended) The compound of claim 24 claim 22 wherein R<sup>1</sup> is optionally substituted phenyl, and is selected with the proviso that it is not substituted at the 3- or 4-position with -C(OH)(CF<sub>3</sub>)<sub>2</sub>.

26. (Original) The compound of claim 2 wherein any two R<sup>1a</sup> or R<sup>3</sup> groups, which substitute adjacent carbons on the ring, together form alkylene, alkenylene, alkylenedioxy, thioalkylenoxy, or alkylenedithioxy.

27. (Original) The compound of claim 2 wherein R<sup>1a</sup> is not -C(OH)(CF<sub>3</sub>)<sub>2</sub>.

28. (Original) The compound of claim 1 wherein R<sup>6</sup> is hydrogen.

29. (Original) The compound of claim 1 wherein n is 0, 1 or 2.

30. (Original) The compound of claim 1 wherein m is 1.

31. (Original) The compound of claim 2 wherein each R<sup>1a</sup> is independently halo, pseudohalo, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl, optionally substituted dialkylamino, optionally

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

substituted aralkoxy, hydroxy, optionally substituted heteroaryl, optionally substituted heterocyclyl or optionally substituted cycloalkyl.

32. (Cancelled)

33. (Currently amended) The compound of ~~claim 32~~ claim 31 wherein each R<sup>1a</sup> is independently chloro, fluoro, ethyl, methyl, methoxy, bromo, cyano, phenyl, *tert*-butyl, trifluoromethoxy, dimethylamino, trifluoromethyl, benzyloxy, hydroxy, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, ethoxy, isopropoxy, butoxy, isobutoxy, 2-(*N*-morpholino)ethoxy, 2-methoxyethoxy, 4-cyanophenyl, 2-thienyl, 3-thienyl, 3-methoxycarbonylphenyl, 4-methoxycarbonylphenyl, 3-carboxyphenyl, *N*-pyrrolidinyl, or *N*-morpholinyl.

34. (Original) The compound of claim 1 wherein R<sup>2</sup> is hydrogen or optionally substituted alkyl, and R<sup>6</sup> is hydrogen.

35. (Cancelled)

36. (Currently amended) The compound of ~~claim 35~~ claim 34 wherein R<sup>2</sup> is hydrogen, methyl or ethyl.

37. (Original) The compound of claim 1 wherein each R<sup>3</sup> is independently optionally substituted alkyl, halo, pseudohalo, optionally substituted alkoxy, hydroxy, optionally substituted aralkoxy, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, or optionally substituted cycloalkyl.

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

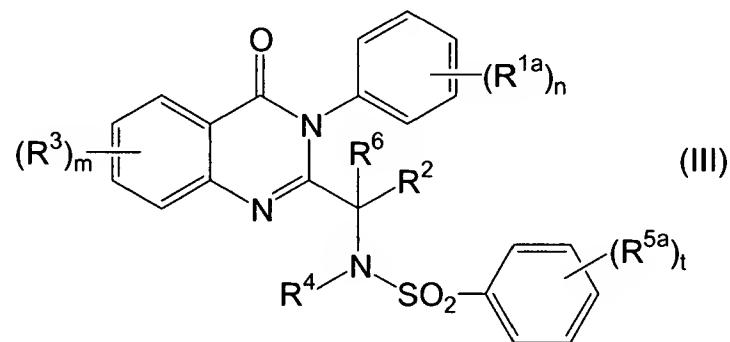
38. (Cancelled)

39. (Currently amended) The compound of claim 38-claim 37 wherein each R<sup>3</sup> is independently methyl, chloro, methoxy, hydroxy, bromo, ethoxy, isopropoxy, isobutoxy, butoxy, benzyloxy, ethoxycarbonylmethoxy, phenyl, 2-thienyl, 3-thienyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 4-carboxyphenyl, N-pyrrolidinyl, N-morpholinyl, 3-methoxycarbonylphenyl, 4-methoxycarbonylphenyl, 3-carboxyphenyl, 4-cyanophenyl, or piperidinyl.

40. (Original) The compound of claim 1 wherein one of R<sup>4</sup> and R<sup>5</sup> is -SO<sub>2</sub>-(optionally substituted aryl).

41. (Original) The compound of claim 1 wherein one of R<sup>4</sup> and R<sup>5</sup> is -SO<sub>2</sub>-(optionally substituted phenyl).

42. (Original) The compound of claim 2 wherein the compound has formula (III):



or a pharmaceutically acceptable derivative thereof, wherein:

t is an integer from 0 to 5;

R<sup>1a</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, n and m are as defined above;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

each R<sup>5a</sup> is independently optionally substituted alkyl, optionally substituted alkoxy, optionally substituted heteroaryl, optionally substituted aryl, optionally substituted heterocyclyl, halo, pseudohalo;

or any two R<sup>5a</sup> substituents, which substitute adjacent atoms on the ring, together form a optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl ring having 5 or 6 members in the ring and where the heteroatoms, if present, are selected from O, S and optionally substituted N;

where R<sup>5a</sup>, when substituted, is substituted with one or more, in one embodiment one to five, in another embodiment one, two or three, substituents each independently selected from Q<sup>1</sup>, as defined above.

43. (Original) The compound of claim 42 wherein any two R<sup>5a</sup> groups, which substitute adjacent carbons on the ring, together form -N=C(R<sup>29</sup>)-C(R<sup>29</sup>)=C(R<sup>29</sup>)- or -C(R<sup>29</sup>)=C(R<sup>29</sup>)-C(R<sup>29</sup>)=C(R<sup>29</sup>)-,

where each R<sup>29</sup> is independently hydrogen, halo, pseudohalo, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, or optionally substituted heteroaralkyl;

where R<sup>5a</sup> and R<sup>29</sup>, when substituted, are substituted with one or more, in one embodiment one to five, in another embodiment one, two or three, substituents each independently selected from Q<sup>1</sup>, as defined above.

44. (Cancelled)

45. (Original) The compound of claim 42 wherein each R<sup>5a</sup> is independently *tert*-butyl, methoxy, methyl, trifluoromethoxy, 2-thienyl, fluoro, chloro,

trifluoromethyl, phenyl, cyano, *n*-propyl, 1,1-dimethylpropyl, isopropyl, butoxy or *n*-butyl; or any two R<sup>5a</sup> groups, which substitute adjacent carbons on the ring, together form -N=C(H)-C(H)=CH- or -C(H)=C(H)-C(H)=C(H)-.

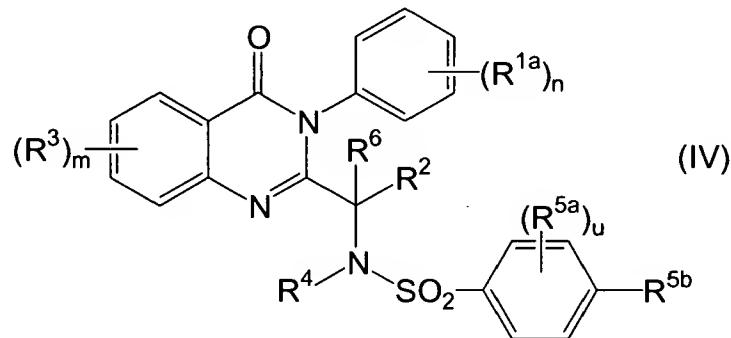
46. (Original) The compound of claim 42 wherein R<sup>4</sup> is hydrogen, optionally substituted alkyl, optionally substituted aralkyl, or optionally substituted heteroaralkyl.

47. (Cancelled)

48. (Currently amended) The compound of claim 47 claim 46 wherein R<sup>4</sup> is hydrogen, methyl, 2-methoxy-1-ethyl, propyl, isobutyl, butyl, pentyl, isopentyl, hexyl, benzyl, phenethyl or 2-thienylmethyl.

49. (Original) The compound of claim 42 wherein one R<sup>5a</sup> group is 4-*tert*-butyl or 4-isopropyl.

50. (Original) The compound of claim 2 wherein the compound has formula (IV):



or a pharmaceutically acceptable derivative thereof, wherein R<sup>1a</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>5a</sup>, m and n are selected as above; u is an integer from 0 to 4; and R<sup>5b</sup> is *tert*-butyl or isopropyl.

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

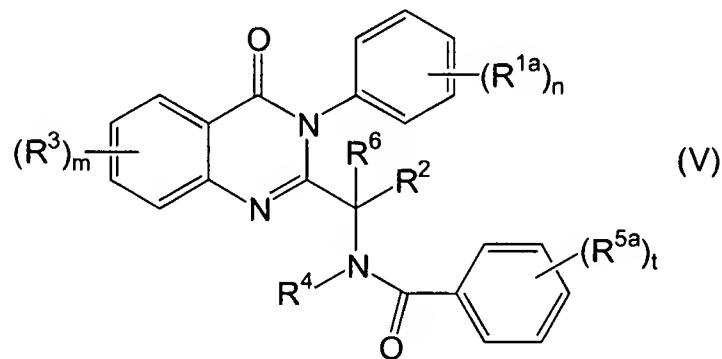
51. (Currently amended) The compound of claim 50 wherein R<sup>5b</sup> is tert-butyl or isopropyl.

52. (Cancelled)

53. (Original) The compound of claim 1 wherein one of R<sup>4</sup> and R<sup>5</sup> is -C(O)-(optionally substituted aryl).

54. (Original) The compound of claim 53 wherein one of R<sup>4</sup> and R<sup>5</sup> is -C(O)-(optionally substituted phenyl).

55. (Original) The compound of claim 2 wherein the compound has formula (V):



or a pharmaceutically acceptable derivative thereof, wherein R<sup>1a</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>5a</sup>, t, n and m are as defined above.

56. (Original) The compound of claim 55 wherein each R<sup>1a</sup> is independently halo, optionally substituted alkyl, or optionally substituted alkoxy, where the substituents, when present, are each independently selected from Q<sup>1</sup>, as defined above.

57. (Cancelled)

58. (Currently amended) The compound of ~~claim 57~~ claim 56 wherein each R<sup>1a</sup> is independently methoxy, methyl, chloro or fluoro.

59. (Original) The compound of claim 55 wherein R<sup>2</sup> is hydrogen or optionally substituted alkyl, where the substituents, when present, are each independently selected from Q<sup>1</sup>, as defined above.

60. (Cancelled)

61. (Currently amended) The compound of ~~claim 60~~ claim 59 wherein R<sup>2</sup> is hydrogen or methyl.

62. (Original) The compound of claim 55 wherein each R<sup>3</sup> is independently hydrogen or optionally substituted alkoxy, where the substituents, when present, are each independently selected from Q<sup>1</sup>, as defined above.

63. (Cancelled)

64. (Currently amended) The compound of ~~claim 63~~ claim 62 wherein each R<sup>3</sup> is independently hydrogen or methoxy.

65. (Original) The compound of claim 55 wherein R<sup>4</sup> is optionally substituted alkyl, where the substituents, when present, are each independently selected from Q<sup>1</sup>, as defined above.

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

66. (Cancelled)

67. (Currently amended) The compound of claim 66-claim 65  
wherein R<sup>4</sup> is methyl or butyl.

68. (Original) The compound of claim 55 wherein R<sup>6</sup> is hydrogen.

69. (Original) The compound of claim 55 wherein each R<sup>5a</sup> is  
independently optionally substituted alkyl, where the substituents, when present, are each  
independently selected from Q<sup>1</sup>, as defined above.

70. (Cancelled)

71. (Currently amended) The compound of claim 70-claim 69  
wherein R<sup>5a</sup> is *tert*-butyl.

72. (Original) The compound of claim 1 wherein one of R<sup>4</sup> and R<sup>5</sup> is  
-C(O)-(optionally substituted alkyl), where the substituents, when present, are each  
independently selected from Q<sup>1</sup>, as defined above.

73. (Cancelled)

74. (Currently amended) The compound of claim 73-claim 72  
wherein one of R<sup>4</sup> and R<sup>5</sup> is -C(O)-octyl.

75. (Original) The compound of claim 1 wherein one of R<sup>4</sup> and R<sup>5</sup> is  
-C(O)-N(R<sup>8</sup>)R<sup>9</sup>, where R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

or R<sup>8</sup> and R<sup>9</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

where R<sup>8</sup> and R<sup>9</sup> are each independently unsubstituted or substituted with one or more, in one embodiment one to five, in another embodiment one, two or three, substituents each independently selected from Q<sup>1</sup>, as defined above.

76. (Original) The compound of claim 75 wherein R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen, optionally substituted cycloalkyl, and optionally substituted aryl.

77. (Cancelled)

78. (Currently amended) The compound of ~~claim 77-claim 76~~ wherein R<sup>8</sup> is hydrogen and R<sup>9</sup> is cyclohexyl, 4-nitrophenyl, 2-methoxyphenyl, 3-cyanophenyl, 3,4-dichlorophenyl, 2,6-diisopropylphenyl, 2-methylphenyl, 2-trifluoromethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 3-methylphenyl, 3-chlorophenyl, 2,6-dimethylphenyl or 3-trifluoromethylphenyl.

79. (Cancelled)

80. (Currently amended) The compound of ~~claim 79-claim 1~~ wherein R<sup>4</sup> and R<sup>5</sup> together form -CH<sub>2</sub>-C(H)(Me)-N(R<sup>30</sup>)-CH<sub>2</sub>-CH<sub>2</sub>-, where R<sup>30</sup> is optionally

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

substituted heteroarylcarbonyl, optionally substituted alkylcarbonyl, optionally substituted arylcarbonyl, optionally substituted arylsulfonyl, optionally substituted alkylaminocarbonyl, or optionally substituted arylaminocarbonyl.

81. (Original) The compound of claim 80 wherein R<sup>30</sup> is 2-thienylcarbonyl, butyryl, 4-fluorobenzoyl, benzyloxyacetyl, diphenylacetyl, 4-nitrobenzoyl, 2,5-dichlorobenzenesulfonyl, *tert*-butylaminocarbonyl, phenylaminocarbonyl, 2,3-dichlorophenylaminocarbonyl, 4-*tert*-butylphenylsulfonyl or 3,4-methylenedioxybenzoyl.

82. (Cancelled)

83. (Currently amended) The compound of ~~claim 82~~ claim 1 wherein Q<sup>2</sup> is nitro, fluoro, benzyloxy or chloro; or any two Q<sup>2</sup> groups, which substitute adjacent carbons, together form methylenedioxy.

84. (Currently amended) A method of treating, preventing, or ameliorating the symptoms of a disease or disorder that is modulated or otherwise affected by nuclear receptor activity or in which nuclear receptor activity is implicated, comprising administering to a subject in need thereof an effective amount of a compound of ~~claims 1-83~~ claim 1.

85. (Original) The method of claim 84, wherein the disease or disorder is selected from hypercholesterolemia, hyperlipoproteinemia, hypertriglyceridemia, lipodystrophy, hyperglycemia, diabetes mellitus, dyslipidemia, atherosclerosis, gallstone disease, acne vulgaris, acneiform skin conditions, diabetes, Parkinson's disease, cancer, Alzheimer's disease, inflammation, immunological disorders,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

lipid disorders, obesity, conditions characterized by a perturbed epidermal barrier function, hyperlipidemia, cholestasis, peripheral occlusive disease, ischemic stroke, conditions of disturbed differentiation or excess proliferation of the epidermis or mucous membrane, and cardiovascular disorders.

86. (Currently amended) A method of reducing cholesterol levels in a subject in need thereof, comprising administering an effective amount of a compound of ~~claims 1-83~~ claim 1.

87. (Currently amended) A method of treating, preventing, or ameliorating one or more symptoms of a disease or disorder which is affected by cholesterol, triglyceride, or bile acid levels, comprising administering to a subject in need thereof an effective amount of a compound of ~~claims 1-83~~ claim 1.

88. (Currently amended) A method of modulating nuclear receptor activity, comprising contacting the nuclear receptor with a compound of ~~claims 1-83~~ claim 1.

89. (Original) The method of claim 88, wherein the nuclear receptor is an orphan nuclear receptor.

90. (Original) The method of claim 88, wherein the nuclear receptor is farnesoid X receptor (FXR).

91. (Original) The method of claim 88, wherein the compound is a nuclear receptor agonist.

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

92. (Original) The method of claim 88, wherein the compound is a nuclear receptor antagonist.

93. (Currently amended) A method of modulating cholesterol metabolism, comprising administering an effective amount of a compound of ~~claims 1-83~~ claim 1.

94. (Currently amended) A method of treating, preventing or ameliorating one or more symptoms of hypocholesterolemia in a subject in need thereof, comprising administering an effective amount of a compound of ~~claims 1-83~~ claim 1.

95. (Currently amended) A method of increasing cholesterol efflux from cells of a subject, comprising administering an effective amount of a compound of ~~claims 1-83~~ claim 1.

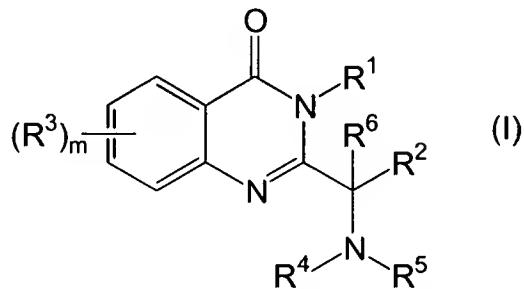
96. (Currently amended) A method of increasing the expression of ATP-Binding Cassette (ABC1) in the cells of a subject, comprising administering an effective amount of a compound of ~~claims 1-83~~ claim 1.

97. (Currently amended) An *in vitro* method for altering nuclear receptor activity, comprising contacting the nuclear receptor with a compound of ~~claims 1-83~~ claim 1.

98. (Currently amended) The method of ~~any of claims 84-97~~ claim 84, wherein a second active agent selected from antihyperlipidemic agents, plasma HDL-raising agents, antihypercholesterolemic agents, cholesterol biosynthesis inhibitors (such as HMG CoA reductase inhibitors, such as lovastatin, simvastatin, pravastatin,

fluvastatin, atorvastatin and rivastatin), acyl-coenzyme A:cholesterol acytransferase (ACAT) inhibitors, probucol, raloxifene, nicotinic acid, niacinamide, cholesterol absorption inhibitors, bile acid sequestrants (such as anion exchange resins, or quaternary amines (*e.g.*, cholestyramine or colestipol)), low density lipoprotein receptor inducers, clofibrate, fenofibrate, benzofibrate, cipofibrate, gemfibrozil, vitamin B<sub>6</sub>, vitamin B<sub>12</sub>, anti-oxidant vitamins,  $\beta$ -blockers, anti-diabetes agents, angiotensin II antagonists, angiotensin converting enzyme inhibitors, platelet aggregation inhibitors, fibrinogen receptor antagonists, aspirin and fibric acid derivatives; is administered simultaneously with, prior to, or after administration of the compound.

99. (Original) A pharmaceutical composition, comprising, in a pharmaceutically acceptable carrier, a compound of formula (I):



wherein:

m is an integer from 0 to 4;

R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>7</sup> or -N(R<sup>8</sup>)R<sup>9</sup>, with the proviso that R<sup>1</sup> is not 3- or 4-(1,1,1,3,3,3-hexafluoro-2-hydroxy-2-propyl)phenyl;

R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are selected from (a) and (b) as follows:

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

(a)  $R^2$  and  $R^6$  are selected from (i) and (ii) as follows: (i)  $R^2$  and  $R^6$  are each independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, or optionally substituted heterocyclylalkyl; or (ii)  $R^2$  and  $R^6$  together form optionally substituted alkylene or optionally substituted alkenylene; and

$R^4$  and  $R^5$  are selected from (i) and (ii) as follows: (i)  $R^4$  and  $R^5$  are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, - $N(R^8)R^9$ , - $OR^7$ , - $S(O)_jR^{11}$  where  $j$  is 1 or 2, - $B(R^{22})_2$ , - $P(R^{22})_2$ , - $P(O)(R^{22})_2$  and - $C(E)R^{23}$ , where E is selected from O, S and NR<sup>7</sup>; or (ii)  $R^4$  and  $R^5$  together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene; or

(b)  $R^2$  and  $R^5$ , or  $R^2$  and  $R^4$ , or  $R^6$  and  $R^5$ , or  $R^6$  and  $R^4$ , together form a 4, 5, 6 or 7 membered optionally substituted heterocyclyl group, or a 5 or 6 membered optionally substituted heteroaryl group; and the remainder of  $R^2$ ,  $R^4$ ,  $R^5$  and  $R^6$  are each independently selected as in (i) above;

each  $R^3$  is independently selected from the group consisting of halo, pseudohalo, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

cycloalkylalkyl, optionally substituted heterocyclalkyl, -N(R<sup>12</sup>)R<sup>13</sup>, -OR<sup>14</sup>, -C(E)R<sup>15</sup>

where E is O, S or NR<sup>7</sup>, and -S(O)<sub>y</sub>R<sup>16</sup> where y is 0, 1 or 2;

or any two R<sup>3</sup> groups, which substitute adjacent carbons on the ring,

together form optionally substituted alkylene, optionally substituted alkenylene,

optionally substituted alkylenedioxy, optionally substituted thioalkylenoxy, or optionally substituted alkylenedithioxy;

each R<sup>7</sup> is independently selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclalkyl;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -S(O)<sub>j</sub>R<sup>10</sup> where j is 1 or 2, and -C(M)R<sup>11</sup>, where M is selected from O and S;

or R<sup>8</sup> and R<sup>9</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

each R<sup>10</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclalkyl;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

each R<sup>11</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>10</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>12</sup> and R<sup>13</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -C(M)R<sup>17</sup> where M is O or S, and -S(O)<sub>j</sub>R<sup>18</sup> where j is 1 or 2;

or R<sup>12</sup> and R<sup>13</sup> together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene;

R<sup>14</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl or -C(M)R<sup>17</sup> where M is O or S;

R<sup>15</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OH, -OR<sup>14</sup> or -N(R<sup>12</sup>)R<sup>13</sup>;

R<sup>16</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OH, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>17</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>18</sup> is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>19</sup> is alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

R<sup>20</sup> and R<sup>21</sup> are each independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl and heteroaralkyl,

or R<sup>20</sup> and R<sup>21</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

each R<sup>22</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>7</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

$R^{23}$  is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, - $OR^{10}$ , - $N(R^7)_2$ , or - $N(R^7)N(R^7)_2$ ;

wherein each of the above  $R^1$ - $R^{23}$  groups, when substituted, are substituted with one or more substituents each independently selected from  $Q^1$ , where  $Q^1$  is halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyoxy, heterocyclylalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyoxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylaminoxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino, alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl, arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diarylaminooalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino, haloalkylamino, arylamino, diarylamino, alkylarylamino, alkylcarbonylamino,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

alkoxycarbonylamino, aralkoxycarbonylamino, arylcarbonylamino,  
arylcarbonylaminoalkyl, aryloxycarbonylaminoalkyl, aryloxyarylcarbonylamino,  
aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino,  
heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  
 $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylphosphonyl,  
diarylphosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio,  
carboxyalkylthio, thiocyno, isothiocyno, alkylsulfinyloxy, alkylsulfonyloxy,  
arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxy sulfonyloxy,  
aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy,  
arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylamino sulfonyloxy,  
alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxy sulfonyl,  
aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl,  
diarylaminosulfonyl or alkylarylamino sulfonyl; or two Q<sup>1</sup> groups, which substitute atoms  
in a 1,2 or 1,3 arrangement, together form alkylenedioxy (*i.e.*,  $-O-(CH_2)_y-O-$ ),  
thioalkyleneoxy (*i.e.*,  $-S-(CH_2)_y-O-$ ) or alkylenedithioxy (*i.e.*,  $-S-(CH_2)_y-S-$ ) where y is 1  
or 2; or two Q<sup>1</sup> groups, which substitute the same atom, together form alkylene;  
each R<sup>24</sup> is independently selected from the group consisting of hydrogen,  
alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocycl and heterocyclalkyl;  
each R<sup>25</sup> is independently selected from the group consisting of hydroxy,  
alkoxy, aralkoxy, alkyl, heteroaryl, heterocycl, aryl and  $-N(R^{27})R^{28}$ ,  
R<sup>26</sup> is alkoxy, aralkoxy, alkyl, heteroaryl, heterocycl, aryl or  $-N(R^{27})R^{28}$ ;  
R<sup>27</sup> and R<sup>28</sup> are each independently hydrogen, alkyl, aralkyl, aryl,  
heteroaryl, heteroaralkyl or heterocycl,  
or R<sup>27</sup> and R<sup>28</sup> together form alkylene, azaalkylene, oxaalkylene or  
thiaalkylene;  
and each Q<sup>1</sup> is optionally substituted by one or more substituents selected  
from Q<sup>2</sup>; where each Q<sup>2</sup> is independently halo, pseudohalo, hydroxy, oxo, thia, nitrile,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyoxy, heterocyclylalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyoxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylaminoxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino, alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl, arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diarylminoalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino, haloalkylamino, arylamino, diarylaminino, alkylarylamino, alkylcarbonylamino, alkoxycarbonylamino, aralkoxycarbonylamino, arylcarbonylamino, arylcarbonylaminooalkyl, aryloxycarbonylaminooalkyl, aryloxyarylcarbonylamino, aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino, heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylphosphonyl, diarylphosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio, carboxyalkylthio, thiocyano, isothiocyanato, alkylsulfinyloxy, alkylsulfonyloxy, arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxyssulfonyloxy, aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

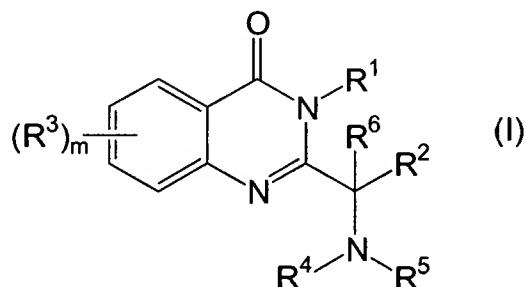
arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylamino sulfonyloxy, alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxy sulfonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, diarylaminosulfonyl or alkylarylamino sulfonyl; or two Q<sup>2</sup> groups, which substitute atoms in a 1,2 or 1,3 arrangement, together form alkylene dioxy (*i.e.*, -O-(CH<sub>2</sub>)<sub>y</sub>-O-), thioalkylenoxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-O-) or alkylene dithioxy (*i.e.*, -S-(CH<sub>2</sub>)<sub>y</sub>-S-) where y is 1 or 2; or two Q<sup>2</sup> groups, which substitute the same atom, together form alkylene,

where R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are as defined above;

as a stereoisomer, racemate or mixture thereof; or as a pharmaceutically acceptable derivative thereof

100. (Original) A pharmaceutical composition, comprising, in a pharmaceutically acceptable carrier:

(i) a compound of formula (I):



wherein:

m is an integer from 0 to 4;

R<sup>1</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

cycloalkylalkyl, optionally substituted heterocyclalkyl, -OR<sup>7</sup> or -N(R<sup>8</sup>)R<sup>9</sup>, with the proviso that R<sup>1</sup> is not 3- or 4-(1,1,1,3,3,3-hexafluoro-2-hydroxy-2-propyl)phenyl;

R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are selected from (a) and (b) as follows:

(a) R<sup>2</sup> and R<sup>6</sup> are selected from (i) and (ii) as follows: (i) R<sup>2</sup> and R<sup>6</sup> are each independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, or optionally substituted heterocyclalkyl; or (ii) R<sup>2</sup> and R<sup>6</sup> together form optionally substituted alkylene or optionally substituted alkenylene; and

R<sup>4</sup> and R<sup>5</sup> are selected from (i) and (ii) as follows: (i) R<sup>4</sup> and R<sup>5</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclalkyl, -N(R<sup>8</sup>)R<sup>9</sup>), -OR<sup>7</sup>, -S(O)<sub>j</sub>R<sup>11</sup> where j is 1 or 2, -B(R<sup>22</sup>)<sub>2</sub>, -P(R<sup>22</sup>)<sub>2</sub>, -P(O)(R<sup>22</sup>)<sub>2</sub> and -C(E)R<sup>23</sup>, where E is selected from O, S and NR<sup>7</sup>; or (ii) R<sup>4</sup> and R<sup>5</sup> together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene; or

(b) R<sup>2</sup> and R<sup>5</sup>, or R<sup>2</sup> and R<sup>4</sup>, or R<sup>6</sup> and R<sup>5</sup>, or R<sup>6</sup> and R<sup>4</sup>, together form a 4, 5, 6 or 7 membered optionally substituted heterocyclyl group, or a 5 or 6 membered optionally substituted heteroaryl group; and the remainder of R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are each independently selected as in (i) above;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

each R<sup>3</sup> is independently selected from the group consisting of halo, pseudohalo, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -N(R<sup>12</sup>)R<sup>13</sup>, -OR<sup>14</sup>, -C(E)R<sup>15</sup> where E is O, S or NR<sup>7</sup>, and -S(O)<sub>y</sub>R<sup>16</sup> where y is 0, 1 or 2;

or any two R<sup>3</sup> groups, which substitute adjacent carbons on the ring, together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkylenedioxy, optionally substituted thioalkylenoxy, or optionally substituted alkylenedithioxy;

each R<sup>7</sup> is independently selected from the group consisting of hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

R<sup>8</sup> and R<sup>9</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -S(O)<sub>j</sub>R<sup>10</sup> where j is 1 or 2, and -C(M)R<sup>11</sup>, where M is selected from O and S;

or R<sup>8</sup> and R<sup>9</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

each R<sup>10</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, and optionally substituted heterocyclylalkyl;

each R<sup>11</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>10</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>12</sup> and R<sup>13</sup> are each independently selected from hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -C(M)R<sup>17</sup> where M is O or S, and -S(O)<sub>j</sub>R<sup>18</sup> where j is 1 or 2;

or R<sup>12</sup> and R<sup>13</sup> together form optionally substituted alkylene, optionally substituted alkenylene, optionally substituted alkyleneoxyalkylene or optionally substituted alkyleneazaalkylene;

R<sup>14</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl or -C(M)R<sup>17</sup> where M is O or S;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

R<sup>15</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OH, -OR<sup>14</sup> or -N(R<sup>12</sup>)R<sup>13</sup>;

R<sup>16</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OH, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>17</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>18</sup> is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>19</sup> or -N(R<sup>20</sup>)R<sup>21</sup>;

R<sup>19</sup> is alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

R<sup>20</sup> and R<sup>21</sup> are each independently selected from hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl and heteroaralkyl,

or R<sup>20</sup> and R<sup>21</sup> together form alkylene, alkenylene, alkyleneoxyalkylene or alkyleneazaalkylene;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

each R<sup>22</sup> is independently selected from the group consisting of optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>7</sup> and -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>23</sup> is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted cycloalkylalkyl, optionally substituted heterocyclylalkyl, -OR<sup>10</sup>, -N(R<sup>7</sup>)<sub>2</sub>, or -N(R<sup>7</sup>)N(R<sup>7</sup>)<sub>2</sub>;

wherein each of the above R<sup>1</sup>-R<sup>23</sup> groups, when substituted, are substituted with one or more substituents each independently selected from Q<sup>1</sup>, where Q<sup>1</sup> is halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclyoxy, heterocyclalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyoxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy,  
alkylaminocarbonyloxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino,  
alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl,  
arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl,  
arylaminoalkyl, diarylamoalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino,  
haloalkylamino, arylamino, diarylmino, alkylarylamino, alkylcarbonylamino,  
alkoxycarbonylamino, aralkoxycarbonylamino, arylcarbonylamino,  
arylcarbonylaminoalkyl, aryloxycarbonylaminoalkyl, aryloxyarylcarbonylamino,  
aryloxycarbonylamino, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino,  
heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  
 $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylphosphonyl,  
diarylphosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio,  
carboxyalkylthio, thiocyanato, isothiocyanato, alkylsulfinyloxy, alkylsulfonyloxy,  
arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxysulfonyloxy,  
aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy,  
arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylamino sulfonyloxy,  
alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxysulfonyl,  
aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl,  
diarylaminosulfonyl or alkylarylamino sulfonyl; or two Q<sup>1</sup> groups, which substitute atoms  
in a 1,2 or 1,3 arrangement, together form alkylenedioxy (*i.e.*,  $-O-(CH_2)_y-O-$ ),  
thioalkyleneoxy (*i.e.*,  $-S-(CH_2)_y-O-$ ) or alkylenedithioxy (*i.e.*,  $-S-(CH_2)_y-S-$ ) where y is 1  
or 2; or two Q<sup>1</sup> groups, which substitute the same atom, together form alkylene;  
each R<sup>24</sup> is independently selected from the group consisting of hydrogen,  
alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocycl and heterocyclalkyl;  
each R<sup>25</sup> is independently selected from the group consisting of hydroxy,  
alkoxy, aralkoxy, alkyl, heteroaryl, heterocycl, aryl and  $-N(R^{27})R^{28}$ ,  
R<sup>26</sup> is alkoxy, aralkoxy, alkyl, heteroaryl, heterocycl, aryl or  $-N(R^{27})R^{28}$ ;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

$R^{27}$  and  $R^{28}$  are each independently hydrogen, alkyl, aralkyl, aryl, heteroaryl, heteroaralkyl or heterocyclyl,  
or  $R^{27}$  and  $R^{28}$  together form alkylene, azaalkylene, oxaalkylene or thiaalkylene;

and each  $Q^1$  is optionally substituted by one or more substituents selected from  $Q^2$ ; where each  $Q^2$  is independently halo, pseudohalo, hydroxy, oxo, thia, nitrile, nitro, formyl, mercapto, carboxy, carboxyalkyl, alkyl, haloalkyl, polyhaloalkyl, aminoalkyl, diaminoalkyl, alkenyl containing 1 to 2 double bonds, alkynyl containing 1 to 2 triple bonds, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, aralkenyl, aralkynyl, heteroarylalkyl, trialkylsilyl, dialkylarylsilyl, alkyldiarylsilyl, triarylsilyl, alkylidene, arylalkylidene, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkoxycarbonylalkyl, aryloxycarbonyl, aryloxycarbonylalkyl, aralkoxycarbonyl, aralkoxycarbonylalkyl, arylcarbonylalkyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, arylaminocarbonyl, diarylaminocarbonyl, arylalkylaminocarbonyl, alkoxy, aryloxy, heteroaryloxy, heteroaralkoxy, heterocyclloxy, heterocyclalkoxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyloxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylaminocarbonyloxy, diarylaminocarbonyloxy, guanidino, isothioureido, amidino, alkylamidino, arylamidino, aminothiocarbonyl, alkylaminothiocarbonyl, arylaminothiocarbonyl, amino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, arylaminoalkyl, diarylminoalkyl, alkylarylaminoalkyl, alkylamino, dialkylamino, haloalkylamino, arylamino, diarylmino, alkylarylamino, alkylcarbonylamino, alkoxycarbonylamino, aralkoxycarbonylamino, arylcarbonylamino, arylcarbonylaminooalkyl, aryloxycarbonylaminooalkyl, aryloxyarylcarbonylaminoo, aryloxycarbonylaminoo, alkylsulfonylamino, arylsulfonylamino, heteroarylsulfonylamino,

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

heterocyclsulfonylamino, heteroarylthio, azido,  $-N^+(R^{24})_3$ ,  $-P(R^{25})_2$ ,  $-P(O)(R^{25})_2$ ,  $-OP(O)(R^{25})_2$ ,  $-N(R^{24})C(O)R^{26}$ , dialkylphosphonyl, alkylarylphtosphonyl, diarylphtosphonyl, hydroxyphosphonyl, alkylthio, arylthio, perfluoroalkylthio, carboxyalkylthio, thiocyno, isothiocyno, alkylsulfinyloxy, alkylsulfonyloxy, arylsulfinyloxy, arylsulfonyloxy, hydroxysulfonyloxy, alkoxyssulfonyloxy, aminosulfonyloxy, alkylaminosulfonyloxy, dialkylaminosulfonyloxy, arylaminosulfonyloxy, diarylaminosulfonyloxy, alkylarylaminosulfonyloxy, alkylsulfinyl, alkylsulfonyl, arylsulfinyl, arylsulfonyl, hydroxysulfonyl, alkoxyssulfonyl, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, arylaminosulfonyl, diarylaminosulfonyl or alkylarylaminosulfonyl; or two Q<sup>2</sup> groups, which substitute atoms in a 1,2 or 1,3 arrangement, together form alkyleneedioxy (*i.e.*,  $-O-(CH_2)_y-O-$ ), thioalkyleneoxy (*i.e.*,  $-S-(CH_2)_y-O-$ ) or alkyleneedithioxy (*i.e.*,  $-S-(CH_2)_y-S-$ ) where y is 1 or 2; or two Q<sup>2</sup> groups, which substitute the same atom, together form alkylene,

where R<sup>24</sup>, R<sup>25</sup>, R<sup>26</sup>, R<sup>27</sup> and R<sup>28</sup> are as defined above;

as a stereoisomer, racemate or mixture thereof; or as a pharmaceutically acceptable derivative thereof; and

(ii) one or more of a second active agent selected from antihyperlipidemic agents, plasma HDL-raising agents, antihypercholesterolemic agents, cholesterol biosynthesis inhibitors (such as HMG CoA reductase inhibitors, such as lovastatin, simvastatin, pravastatin, fluvastatin, atorvastatin and rivastatin), acyl-coenzyme A:cholesterol acytransferase (ACAT) inhibitors, probucol, raloxifene, nicotinic acid, niacinamide, cholesterol absorption inhibitors, bile acid sequestrants (such as anion exchange resins, or quaternary amines (*e.g.*, cholestyramine or colestipol)), low density lipoprotein receptor inducers, clofibrate, fenofibrate, benzofibrate, cipofibrate, gemfibrozil, vitamin B<sub>6</sub>, vitamin B<sub>12</sub>, anti-oxidant vitamins, β-blockers, LXR □ or □ agonists or antagonists, anti-diabetes agents, angiotensin II antagonists, angiotensin

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

converting enzyme inhibitors, platelet aggregation inhibitors, fibrinogen receptor antagonists, aspirin and fibrin acid derivatives.

101. (Currently amended) A compound of Claim 1 selected from the group consisting of the following:

2-naphthalen-1-yl-ethanesulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl} methylamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-C-phenyl-methanesulfonamide;

2-phenyl-ethenesulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl} methylamide;

thiophene-2-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl} methylamide;

*C*-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-yl)-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-methanesulfonamide;

3,5-dimethyl-isoxazole-4-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl} methylamide;

*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methyl-methanesulfonamide;

octane-1-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl] methylamide;

2-naphthalen-1-yl-ethanesulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl] methylamide;

2-phenyl-ethenesulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl] methylamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

thiophene-2-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

*C*-(7,7-dimethyl-2-oxo-bicyclo[2.2.1]hept-1-yl)-*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylmethanesulfonamide;

*N*-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-*N*-methylmethanesulfonamide;

butane-1-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

3-chloropropane-1-sulfonic acid [3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]methylamide;

[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]-carbamic acid benzyl ester;

nonanoic acid {1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

nonanoic acid [3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-ylmethyl]amide; and

nonanoic acid {1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide; and

nonanoic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}methylamide;

*4-tert-butyl-N-methyl-N*-[1-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

*4-isopropyl-N-methyl-N*-[1-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

biphenyl-4-sulfonic acid methyl-[1-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]amide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-methoxy-*N*-methyl-*N*-[1-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide; and

4-chloro-*N*-methyl-*N*-[1-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

4-*tert*-butyl-*N*-methyl-*N*-[1-(3-methyl-4-oxo-3,4-dihydroquinazolin-2-yl)ethyl]benzamide;

3-(4-methoxyphenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

3-(3,5-dimethylphenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

2-(1-methylaminoethyl)-3-phenyl-3*H*-quinazolin-4-one;

3-(4-bromophenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

3-(4-methoxyphenyl)-7-methyl-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

8-methoxy-3-(4-methoxyphenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

5-methoxy-3-(4-methoxyphenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

6-methoxy-3-(4-methoxyphenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

3-(4-dimethylamino-phenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one; and

3-(4-fluorophenyl)-2-(1-methylaminoethyl)-3*H*-quinazolin-4-one;

4-*tert*-butyl-*N*-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[6-methoxy-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

4-*tert*-butyl-*N*-{1-[3-(4-chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-*N*-methylbenzenesulfonamide;

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

4-tert-butyl-N-{1-[3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

4-tert-butyl-N-methyl-N-[1-(4-oxo-3-p-tolyl-3,4-dihydroquinazolin-2-yl)ethyl]benzenesulfonamide;

4-tert-butyl-N-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

4-tert-butyl-N-{1-[3-(4-methoxyphenyl)-6-methyl-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

4-tert-butyl-N-{1-[6-chloro-3-(4-methoxyphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-N-methylbenzenesulfonamide;

N-{1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl}-4-isopropyl-N-methylbenzenesulfonamide; and

biphenyl-4-sulfonic acid {1-[3-(2,4-dimethylphenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl} methylamide.

102. (Cancelled)

103. (New) The compound of claim 50 having one or more features selected from the group consisting of the following:

- a) R<sup>1a</sup> is selected from the group consisting of alkyl, hydroxy, alkoxy, alkoxyalkoxy and aralkoxy;
- b) R<sup>4</sup> is hydrogen or alkyl;
- c) R<sup>3</sup> is independently selected from the group consisting of hydrogen, alkyl, alkoxy and halo; and
- d) R<sup>5a</sup> is independently selected from the group consisting of alkyl, alkoxy, halo and alkylcarbonyl.

Express Mail No.: EV449564167US  
International Application No.: PCT/US03/06793  
International Filing Date: March 4, 2003  
Preliminary Amendment

104. (New) The compound of claim 103 having one or more features selected from the group consisting of the following:

- a) R<sup>1a</sup> is alkoxy;
- b) R<sup>4</sup> is alkyl;
- c) R<sup>3</sup> is selected from the group consisting of hydrogen, halo, alkoxy and alkyl; and
- d) R<sup>5a</sup> is selected from the group consisting of *tert*-butyl and isopropyl.